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Targeted delivery of 5-fluorouracil-1-acetic acid (5-FA) to cancer cells overexpressing epithelial growth factor receptor (EGFR) using virus-like nanoparticles (Article) [\(Open Access\)](#)

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Abstract

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Chemotherapy is widely used in cancer treatments. However, non-specific distribution of chemotherapeutic agents to healthy tissues and normal cells in the human body always leads to adverse side effects and disappointing therapeutic outcomes. Therefore, the main aim of this study was to develop a targeted drug delivery system based on the hepatitis B virus-like nanoparticle (VLNP) for specific delivery of 5-fluorouracil-1-acetic acid (5-FA) to cancer cells expressing epithelial growth factor receptor (EGFR). 5-FA was synthesized from 5-fluorouracil (5-FU), and it was found to be less toxic than the latter in cancer cells expressing different levels of EGFR. The cytotoxicity of 5-FA increased significantly after being conjugated on the VLNP. A cell penetrating peptide (CPP) of EGFR was displayed on the VLNP via the nanoglue concept, for targeted delivery of 5-FA to A431, HT29 and HeLa cells. The results showed that the VLNP displaying the CPP and harboring 5-FA internalized the cancer cells and killed them in an EGFR-dependent manner. This study demonstrated that the VLNP can be used to deliver chemically modified 5-FU derivatives to cancer cells overexpressing EGFR, expanding the applications of the VLNP in targeted delivery of chemotherapeutic agents to cancer cells overexpressing this transmembrane receptor. © 2020, The Author(s).

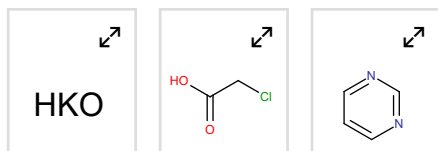
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